## What is claimed is:

## A compound, having the structure

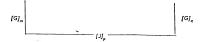
- 2 wherein D1 and D2, independently, are selected from the
- 3 group consisting of NH and NH<sub>2</sub>, wherein N represents any
- 4 isotope of nitrogen, wherein H represents any isotope of
- 5 hydrogen; "~", independently, is selected from the group
- 6 consisting of a single bond and a double bond; B represents.
- 7 independently, any isotope of boron; A1 and A5 are,
- 8 independently, selected from a group consisting of a C, a CX
- 9 moiety and an N, wherein C represents any isotope of carbon,
- 10 wherein X represents any atom capable of forming a single
- 11 bond with C; each A2, A3, A4, A6, A7, and A8 are,
- 12 independently, selected from a group consisting of a CX
- 13 moiety, a CXZ moiety, a CZ moiety, an NX moiety, and an O,
- 14 wherein X and Z, are, independently, selected from the group
- 15 consisting of any atom capable of forming a single bond and
- 16 any atom capable of forming a double bond with C or N and.
- 17 wherein O represents any isotope of oxygen; wherein each Y1,
- 18 Y2, Y3, and Y4 are, independently, selected from the group
- 19 consisting of a hydroxyl moiety and any reactive moiety that
- 20 converts to a hydroxyl moiety under physiologic conditions;
- 21 and L represents a linker molecule (i) having a molecular
- 22 weight ranging between about 100 daltons and about 2000
- 23 daltons, (ii) having a span ranging from about 20 Å to about

- 24 300 Å, and (iii) containing a chain of atoms selected from
- 25 the group consisting of a combination of C, O, N, S, and Ph
- 26 atoms, connected by single bonds or by double bonds in a
- 27 manner that does not violate the laws of chemistry and
- 28 wherein 8 represents any isotope of sulfur and Ph represents
- 29 any isotope of phosphorous.
  - 1 2. The compound of claim 1 wherein the following
  - 2 structures

and

- 3 represent, independently, a binding moiety, wherein R
- 4 represents the remainder of the molecule.
- 1 3. The compound of claim 2 wherein there are 4 atoms
- 2 positioned between the group consisting of D1 and D2 and B
- 3 of the binding moiety.

- 1 4. The compound of claim 2 wherein the binding moiety
- 2 is in an L-configuration.
- 1 5. The compound of claim 1 wherein Y1, Y2, Y3, and Y4
- 2 are hydroxyl groups.
- 1 6. The compound of claim 1 wherein the A4 bonded to the
- B is in the L-configuration and the  ${ t A5}$  bonded to the B is in
- 3 the L-configuration.
- The compound of claim 2 wherein the binding moiety
- 2 is an L-amino acid residue conjugated to B, a boron
- 3 molecule.
- 1 8. The compound of claim 2 wherein the binding moiety
- 2 is selected from the group consisting of L-Lys-L-boroPro ānd
- 3 a derivative of L-Lys-L-boroPro.
- 1 9. The compound of claim 1 wherein the linker molecule
- 2 contains a functional group selected from the group
- 3 consisting of a carboxylate group, an amino group, a
- 4 sulfhydryl group, an imidazole group, an alkene group, an
- 5 acyl halogen group, and CH<sub>2</sub>X, wherein X represents a
- 6 halogen.
- 1 10. The compound of claim 1 wherein the linker molecule
- 2 is further defined as having the following structure:



- 3 wherein [G] is selected from the group consisting of a
- 4 carbon, nitrogen, oxygen, hydrogen and a sulfur atom; [J] is
- 5 selected from the group consisting of a CH<sub>2</sub> molecule, a
- 6 chain of carbon atoms, a chain of nitrogen atoms, and a
- 7 chain of oxygen atoms; and m, p, and q represent an integer
- 8 from 1 to 50, inclusive.
- 1 11. The compound of claim 10 wherein [G] is an R group
- 2 selected from the group consisting of L-amino acid residues
- 3 selected from the group consisting of lysine, cysteine,
- 4 glutamic acid, aspartic acid, histidine, arginine,
- 5 glutamine, and asparagine and D-amino acid residues selected
- 6 from the group consisting of lysine, cysteine, glutamic
- 7 acid, aspartic acid, histidine, arginine, glutamine, and
- 8 asparagine.
- 1 12. The compound of claim 1 wherein the linker molecule
- 2 is selected from the group consisting of hexanedioic acid
- 3 (adipic acid), EGS, 1,4-diaminobutane, 1,4-dithiobutane,
- 4 dithiothreitol, lysine, cysteine, glutamic acid, aspartic
- 5 acid, histidine, arginine, glutamine, and asparagine.
- 1 13. The compound of claim 1 wherein the linker molecule
- 2 contains at least two amino groups when the binding moieties
- 3 contain glutamic acid residues.
- 14. The compound of claim 1 wherein the linker molecule
- 2 contains at least two amino groups when the binding moieties
- 3 contain aspartic acid residues.

- The compound of claim 1 wherein the linker molecule 1
- contains at least two sulfhydryl groups when the binding
- moieties contain cysteine residues.
- The compound of claim 1 wherein the linker molecule 16.
- span ranges from about 30 Å to about 100 Å.
- A compound, having the structure 1 17.



- wherein D is independently selected from the group
- consisting of NH and NH, wherein N represents any isotope 3
- of nitrogen, wherein H represents any isotope of hydrogen;
- "~", independently, is selected from the group consisting of
- a single bond and a double bond; B represents. 6
- independently, any isotope of boron; A1 is, independently,
- selected from the group consisting of a C, a CX moiety and 8
- 9 an N, wherein C represents any isotope of carbon, wherein X
- represents any atom capable of forming a single bond with C; 10
- 11 each A2, A3, and A4 are, independently, selected from the
- 12
- group consisting of a CX moiety, a CXZ moiety, a CZ moiety,
- 13 an NX moiety, and an O, wherein X and Z, independently, are
- 14 selected from the group consisting of any atom capable of

- forming a single bond and any atom capable of forming a 15
- double bond with C or N and wherein O represents any isotope 16
- of oxygen; wherein each Y1 and Y2 are, independently, 17
- selected from the group consisting of a hydroxyl moiety and 18 19
- any reactive moiety that converts to a hydroxyl moiety under 20
- physiologic conditions; L represents a linker molecule (i)
- 21 having a molecular weight ranging between about 100 daltons
- and about 2000 daltons, (ii) having a span ranging from 22
- about 20 Å to about 300 Å, and (iii) containing a chain of 23
- atoms selected from the group consisting of a combination of 24
- C, O, N, S, and Ph atoms, connected by single bonds or by 25
- double bonds in a manner that does not violate the laws of 26
- chemistry and wherein  ${\bf S}$  represents any isotope of sulfur and 27
- Ph represents any isotope of phosphorous; and P represents a 28
- 29 peptide ranging from 3 to 30 amino acids having sufficient
- sequence homology to bind to a naturally occurring receptor. 3.0

- 1 18. The compound of claim 17 wherein the following
- 2 structures

and

- 3 represent, independently, a binding moiety, wherein R
- 4 represents the remainder of the molecule.
- 1 19. The compound of claim 18 wherein there are 4 atoms
- 2 positioned between D and B of the binding moiety.
- 1 20. The compound of claim 18 wherein the binding moiety
- 2 is in an L-configuration.
- 1 21. The compound of claim 17 wherein Y1 and Y2 are.
  - hydroxyl groups.

- 1 22. The compound of claim 17 wherein the A4 bonded to
- 2 the B is in the L-configuration.
- 1 23. The compound of claim 18 wherein the binding moiety
- 2 is an L-amino acid residue conjugated to B, a boron
- 3 molecule.
- 1 24. The compound of claim 18 wherein the binding moiety
- 2 is selected from the group consisting of L-Lys-L-boroPro and
- 3 a derivative of L-Lys-L-boroPro.
- 1 25. The compound of claim 17 wherein the linker molecule
- 2 contains a functional group selected from the group
- 3 consisting of a carboxylate group, an amino group, a
- 4 sulfhydryl group, an imidazole group, an alkene group, an
- 5 acyl halogen group, and CH<sub>2</sub>X, wherein X represents a
- 6 halogen.
- 1 26. The compound of claim 17 wherein the linker molecule
- 2 is further defined as having the following structure:

[G] <sub>m</sub>	*	[G] <sub>q</sub>
	[J]_	

- 3 wherein [G] is selected from the group consisting of a
- 4 carbon, nitrogen, oxygen, hydrogen and a sulfur atom; [J] is
- selected from the group consisting of a CH, molecule, a
- 6 chain of carbon atoms, a chain of nitrogen atoms, and a
- 7 chain of oxygen atoms; and m, p, and q represent an integer
- 8 from 1 to 50, inclusive.
- 1 27. The compound of claim 26 wherein [G] is an R group
- 2 selected from the group consisting of L-amino acid residues
- 3 selected from the group consisting of lysine, cysteine,
- 4 glutamic acid, aspartic acid, histidine, arginine,
- 5 glutamine, and asparagine and D-amino acid residues selected
- 6 from the group consisting of lysine, cysteine, glutamic
- 7 acid, aspartic acid, histidine, arginine, glutamine, and
- 8 asparagine.
- 1 28. The compound of claim 17 wherein the linker molecule
- 2 is selected from the group consisting of adipic acid,
- 3 between 2 and 15 consecutive amino acid residues, 1,4-
- 4 diaminobutane, 1,4-dithiobutane, and dithiothreitol.
- 1 29. The compound of claim 17 wherein the linker molecule
- 2 span ranges from about 30 Å to about 100 Å.
- 1 30. The compound of claim 17 wherein the peptide ranges
- 2 from about 7 to 25 amino acids.

- 1 31. The compound of claim 17 wherein the peptide is
- 2 selected from the group consisting of:
  - a) Myelin proteolipid protein peptide;
- b) Moth cytochrome C peptide;
  - c) tetanus toxin;

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- d) HIV-1 GP 120 peptide;
- 7 e) myelin basic protein; and
  - f) HIV-1 GP 120 peptide.
- 1 32. The compound of claim 31 wherein the Myelin
- 2 proteolipid protein peptide is selected from the group
- 3 consisting of PLP peptide 139-151 and PLP peptide 190-209,
- 4 the Moth cytochrome C peptide is peptide MCC 94-103, the
- 5 myelin basic protein peptide is MBP peptide 1-11, and the
- 6 tetanus toxin peptide is selected from the group consisting
- 7 of tetanus toxoid peptide and P2 tetanus toxoid peptide. \_
- 1 33. The compound of claim 17 wherein the naturally
- 2 occurring receptor is a T cell surface receptor.
- 1 34. The compound of claim 33 wherein the T cell surface
- 2 receptor is selected from the group consisting of TCR/C3,
- 3 CD4, CD8, CD10, CD26, CD28, and CD45.

## 1 35. A compound, having the structure

- wherein D is, independently, selected from the group
- 3 consisting of NH and NH, wherein N represents any isotope
- 4 of nitrogen, wherein H represents any isotope of hydrogen;
- 5 "~" is, independently, selected from the group consisting of
- 6 a single bond and a double bond; B represents,
- 7 independently, any isotope of boron; A1 is, independently,
- 8 selected from the group consisting of a C, a CX moiety and
- 9 an N, wherein C represents any isotope of carbon, wherein  ${\tt X}$
- 10 represents any atom capable of forming a single bond with C;
- 11 each A2, A3, and A4 are, independently, selected from the
- 12 group consisting of a CX moiety, a CXZ moiety, a CZ moiety,
- an NX moiety, and an O, wherein X and Z, independently, are
- 14 selected from the group consisting of any atom capable of

- forming a single bond and any atom capable of forming a double bond with C or N and wherein O represents any isotope of oxygen; wherein each Y1 and Y2 are, independently,
- 18 selected from the group consisting of a hydroxyl moiety and
- 19 any reactive moiety that converts to a hydroxyl moiety under
- 20 physiologic conditions; n represents an integer between 1
- 21 and 200, inclusive;

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## wherein E1 and E3 are distinct reactive species in which:

- (a) R and R' comprise the remainder of the molecules not relevant to this reaction:
- (b) E1 is attached to R' by a covalent bond which are together designated as E1-R' or R'-E1;
- (c) E3 is attached to R by a covalent bond which are together designated as E3-R or R-E3;
- (d) R' represents the part of E1-R' not undergoing a chemical reaction;
- (e) R represents the part of R-E3 not undergoing a chemical reaction;
- (f) E1 undergoes a chemical reaction with E3 to form the product E1'-E3' and a byproduct F, wherein F is selected from the group consisting of 2H<sup>+</sup> and 2e', H<sub>2</sub>O, and any other byproduct;
- (g) where H<sup>+</sup> is the cation of any isotope of hydrogen and e<sup>-</sup> is an electron;
- (h) where H represents any isotope of hydrogen and O represents any isotope of oxygen;
- (i) where E1' and E3' are covalently bonded;
- (j) E1 does not undergo a chemical reaction with another E1;
- (k) E3 does not undergo a chemical reaction with another E3; and

46 (1) E1 and E3 are selected from the group
47 consisting of a carboxylate, amino, imidazole,
48 sulfhydryl, aldehyde, ester, and any other
49 reactive species;

wherein [J]p, E2, [I]q and [G]m together comprise a linker 50 moiety, and wherein [G]m, [J]p, and [I]q represent, 51 52 independently, linker molecules (i) having a molecular weight ranging between about 100 daltons and about 2000 53 daltons, (ii) having a span ranging from about 20 Å to about 54 300 Å, and (iii) containing a chain of atoms selected from 55 the group consisting of a combination of C, O, N, S, and Ph 56 atoms, connected by single bonds or by double bonds in a 57 manner that does not violate the laws of chemistry and 58 59 wherein S represents any isotope of sulfur and Ph represents any isotope of phosphorous; and wherein m, p, and q 60 represent, independently, an integer from 1 to 50, 61

- and wherein E2 is selected from the group consisting of CX, GH, N, PhYZ, PhU, and any other moiety capable of forming covalent bonds with [J], [G], and [I], and wherein:
  - (a) C is any isotope of carbon;

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inclusive:

- (b) X is any isotope of any atom capable of forming a single bond with carbon;
- (c) H is any isotope of hydrogen;
- (d) N is any isotope of nitrogen;
- (e) Ph is any isotope of phosphorous;
- (f) Y is any isotope of any atom capable of forming a single bond with phosphorous;
- (g) Z is any isotope of any atom capable of forming a single bond with phosphorous; and

(h) U is any isotope of any atom capable of forming
 a double bond with phosphorous.

1 36. The compound of claim 17 wherein the following

2 structures

and

- 3 represent, independently, a binding moiety, wherein R
- 4 represents the remainder of the molecule.
- 1 37. The compound of claim 35 wherein (a) [G]m is the
- 2 side chain of a D- or L- isomer of lysine, cysteine,
- 3 glutamic acid, aspartic acid, histidine, arginine,
- glutamine, and asparagine; (b) E2 is D- or L- isomer of
- 5 lysine, cysteine, glutamic acid, aspartic acid, histidine,
- 6 arginine, glutamine, and asparagine; (c) E1 and E3 are

selected from the group consisting of an amino moiety and a carboxylic acid moiety; and (d) E1 and E3 are distinct from each other.

38. The compound of claim 35 wherein (a) [G]m is the side chain of a D- or L- isomer of lysine, cysteine, glutamic acid, aspartic acid, histidine, arginine, glutamine, and asparagine; (b) E2 is selected from the group consisting of 2-carboxybutyl, 2-carboxypropyl, 2-aminobutyl, 2-aminopropyl, and a hydrocarbon chain with an amino or carboxy side chain; (c) [J]p and [I]q represent, independently, hydrocarbon chains; (d) E1 and E3 are selected from the group consisting of an amino moiety and a carboxylic acid moiety; and (e) E1 and E3 are distinct from each other.

- 39. A method for stimulating activation or proliferation of human CD26-bearing lymphocytes, said method comprising contacting said lymphocytes with a proliferation or activation-inducing concentration of the compound of any of claims 1, 17, or 35.
- 5 40. The method of claim 39, wherein said contacting is carried out by administering said compound to a human patient suffering from a disease state characterized by inadequate lymphocyte activation or concentration.
  - 41. The method of claim 40, wherein said disease state is caused by HIV infection.
- 10 42. The method of claim 40, wherein said compound is administered in conjunction with a second, different agent which stimulates activation or proliferation of said lymphocytes.
  - 43. The method of claim 40, wherein said compound is administered orally.
- 15 44. The method of claim 39, wherein said contacting of lymphocytes with said compound is carried out in vitro.
  - 45. The method of claim 40, wherein said disease state is a neoplasm, and said CD26-bearing lymphocytes are cytolytic T cells.

- 46. The method of claim 40, wherein said patient is suffering from side effects of chemotherapy, one of which side effects being depletion of lymphocytes.
- 47. The method of claim 40, wherein said patient suffers from kidney failure resulting in depletion of lymphocytes.
- 5 48. The method of claim 40, wherein said patient suffers from a bone marrow disorder resulting in lymphocyte depletion.

49. A compound having the formula I:

$$[P^{2}(R^{2})_{m}]_{n} P^{1}R^{1}$$
 (I)

wherein P<sup>1</sup> represents a first targeting moiety that mimics the substrate binding site of a protease expressed on the surface of a cell involved in immune system modulation;

R<sup>1</sup> represents a reactive group that reacts with a functional group in the reactive center of the protease;

P<sup>2</sup> represents a second targeting moiety that may be the same or different from the first targeting moiety;

R<sup>2</sup> represents a second reactive group that may be the same or different from the first reactive group;

m = 0 or 1 and n = a whole number from 1 to 10.

- 50. The compound of claim 49, wherein  $P^2=P^1$  and  $R^2$  is absent or is different from  $R^1$ .
- 51. The compound of claim 49, wherein P<sup>1</sup> selectively binds to a DP IV on a first cell and P<sup>2</sup> selectively binds to a major histocompatibility molecule on an antigen presenting cell.
  - 52. A vaccine comprising the compound of claim 51.

- A pharmaceutical composition comprising the compound of claims 1, 17, 35 or
   in a pharmaceutically acceptable carrier.
  - 54. A method for manufacturing a pharmaceutical composition comprising: placing the compound of claims 1, 17, 35, or 49 in a pharmaceutically acceptable carrier.

- 55. The method of claim 39, wherein administering comprises obtaining the T cells, bone marrow cells, stem cells or early lineage progenitor cells from the subject, contacting the isolated T cells with the compound ex vivo in an amount effective to stimulate the T cells, and reintroducing the T cells to the subject.
- 56. A method for treating an autoimmune condition comprising: administering the compound of claims 1, 17, 35, or 49 to a subject in need of such treatment in an amount effective to inhibit the autoimmune condition in the subject